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- (21) International Application Number: PCT/CA2003/000903 (81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
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(54) Title: PEPTIDES AND PEPTIDOMIMETICS USEFUL FOR INHIBITING THE ACTIVITY OF PROSTAGLANDIN F_{2α} RECEPTOR

(57) Abstract: The invention relates to compositions which are useful for inhibiting prostaglandin F_{2α} receptor. The compositions include, but are not limited to, linear peptides, peptide analogs, and peptidomimetics. Methods of using the compositions of the invention to treat preterm labor and dysmenorrhea are disclosed.

WO 2003/104266 A3

INTERNATIONAL SEARCH REPORT

International Application No.

PCT/CA 03/00903

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07K7/00 A61K38/08

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 C07K A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, BIOSIS, WPI Data, PAJ, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 00 17348 A (CHEMTOB SYLVAIN ; PERI KRISHNA G (CA); HOPITAL SAINTE JUSTINE (CA)) 30 March 2000 (2000-03-30) table 1	1,56, 63-148
P, X	PERI K G ET AL: "THG113: A NOVEL SELECTIVE FP ANTAGONIST THAT DELAYS PRETERM LABOR" SEMINARS IN PERINATOLOGY, W.B. SAUNDERS, GB, vol. 26, no. 6, December 2002 (2002-12), pages 389-397, XP009016441 ISSN: 0146-0005	76-148
X	Reference 20. THG113. BioContact Meeting, Québec, 2000 the whole document page 390, column 1, paragraph 2	76-148
-/-		

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents:

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
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- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

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- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *Z* document member of the same patent family

Date of the actual completion of the international search

19 January 2004

Date of mailing of the international search report

12.02.04

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INTERNATIONAL SEARCH REPORT

International Application No.

PC 93A 03/00903

"C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	NAGAI U ET AL: "BICYCLIC TURNED DIPEPTIDE (BTD) AS A BETA-TURN MIMETIC; ITS DESIGN SYNTHESIS AND INCORPORATION INTO BIOACTIVE PEPTIDES" TETRAHEDRON, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL, vol. 49, no. 17, 23 April 1993 (1993-04-23), pages 3577-3592, XP000576111 ISSN: 0040-4020 the whole document	76-148
Y	HALAB LILIANE ET AL: "Design, synthesis, and conformational analysis of azacycloalkane amino acids as conformationally constrained probes for mimicry of peptide secondary structures" BIOPOLYMERS, vol. 55, no. 2, 3 November 2000 (2000-11-03), pages 101-122, XP002267272 ISSN: 0006-3525 the whole document	76-148
Y	GOSSELIN F ET AL: "Probing opioid receptor-ligand interactions by employment of indolizidin-9-one amino acid as a constrained Gly2-Gly3 surrogate in a leucine-enkephalin mimic" JOURNAL OF PEPTIDE RESEARCH, vol. 57, no. 4, April 2001 (2001-04), pages 337-344, XP001039254 ISSN: 1397-002X the whole document page 8, line 5 - line 10; claim 7	76-148
Y	GENNARI CESARE ET AL: "Solid-phase synthesis of peptides containing reverse-turn mimetic bicyclic lactams" EUROPEAN JOURNAL OF MEDICINAL CHEMISTRY, EDITIONS SCIENTIFIQUE ELSEVIER, PARIS, FR, no. 2, 1999, pages 379-388, XP002180752 ISSN: 0223-5234 the whole document	76-148

INTERNATIONAL SEARCH REPORT

International Application No.

PCT/CA 03/00903

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 0017348	A	30-03-2000	AU 5722499 A	10-04-2000
			CA 2342960 A1	30-03-2000
			WO 0017348 A1	30-03-2000
			EP 1114151 A1	11-07-2001
			JP 2002526509 T	20-08-2002

INTERNATIONAL SEARCH REPORT

International Application No.
PCT/CA 03/00903

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
Although claims 71, 74-75, 143, 146-147 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☒ Claims Nos.: 76-142, 148
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
see FURTHER INFORMATION sheet PCT/ISA/210
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

see additional sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☒ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
1, 56, 63-148
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☒ No protest accompanied the payment of additional search fees.

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. Claims: 1, 56, 63-75

A peptide characterised by Formula I, wherein the peptide has the Formula ILGHRDYK

2. Claims: 2-38

A peptide characterised by Formula I, wherein Y is an acyl group

3. Claims: 39, 40

A peptide characterised by Formula I, wherein AA4 is an alpha amino acid related to His, Phe, Tyr and Trp, possessing hydrophobic side chains.

4. Claims: 41, 43, 57, 60; 44-55 (in parts)

A peptide characterised by Formula I, wherein in the definition of AA7, AA8 and Z, the aromatic amine is selected from phenylethylamine etc.

5. Claims: 42, 61, 62; 44-55 (in parts)

A peptide characterised by Formula I, wherein in the definition of AA7, AA8 and Z, the aliphatic amine is selected from amines comprising a saturated or unsaturated hydrocarbon chain, etc.

6. Claims: 58, 59

A peptide characterised by Formula I, wherein in the definition of AA7, the primary arylalkylamine has a ring from 6 to 10 C atomsamine is selected from amines comprising a saturated or unsaturated hydrocarbon chain.

7. Claims: 76-148

A peptidomimetic characterised by Formula II.

Continuation of Box I.2

Claims Nos.: 76-142,148

Present claims 76-142,148 relate to an extremely large number of possible compounds. Support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds claimed. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been carried out for the general concept underlying the application and for those parts of the claims relating to a subject-matter which appear to be supported and disclosed, as further characterised in claim 135 (i.e.: compounds No: 33-52).

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.